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LOGINID: SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * * Welcome to STN International
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NEWS
                 Web Page for STN Seminar Schedule - N. America
                 STN AnaVist, Version 1, to be discontinued
NEWS
         APR 04
NEWS 3
         APR 15
                 WPIDS, WPINDEX, and WPIX enhanced with new
                 predefined hit display formats
         APR 28
                 EMBASE Controlled Term thesaurus enhanced
NEWS
NEWS
      5
         APR 28
                 IMSRESEARCH reloaded with enhancements
NEWS 6 MAY 30
                 INPAFAMDB now available on STN for patent family
                 searching
NEWS 7 MAY 30
                 DGENE, PCTGEN, and USGENE enhanced with new homology
                 sequence search option
NEWS 8 JUN 06
                 EPFULL enhanced with 260,000 English abstracts
         JUN 06
NEWS
     9
                 KOREAPAT updated with 41,000 documents
NEWS 10
         JUN 13
                 USPATFULL and USPAT2 updated with 11-character
                 patent numbers for U.S. applications
         JUN 19
                 CAS REGISTRY includes selected substances from
NEWS 11
                 web-based collections
NEWS 12
         JUN 25
                 CA/CAplus and USPAT databases updated with IPC
                 reclassification data
NEWS 13
         JUN 30 AEROSPACE enhanced with more than 1 million U.S.
                 patent records
NEWS 14
         JUN 30
                 EMBASE, EMBAL, and LEMBASE updated with additional
                 options to display authors and affiliated
                 organizations
NEWS 15
         JUN 30
                 STN on the Web enhanced with new STN AnaVist
                 Assistant and BLAST plug-in
NEWS 16
         JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 17
         JUL 28 CA/CAplus patent coverage enhanced
NEWS 18 JUL 28 EPFULL enhanced with additional legal status
                 information from the epoline Register
NEWS 19
         JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20
         JUL 28 STN Viewer performance improved
NEWS 21
         AUG 01
                 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22
         AUG 13 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
NEWS 23
         AUG 15
                 CAOLD to be discontinued on December 31, 2008
NEWS 24
         AUG 15
                 CAplus currency for Korean patents enhanced
NEWS 25
         AUG 25
                 CA/CAplus, CASREACT, and IFI and USPAT databases
                 enhanced for more flexible patent number searching
NEWS 26 AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                 information
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:16:16 ON 04 SEP 2008

=> FIL REG
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:16:43 ON 04 SEP 2008
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STRUCTURE FILE UPDATES: 3 SEP 2008 HIGHEST RN 1046204-20-9 DICTIONARY FILE UPDATES: 3 SEP 2008 HIGHEST RN 1046204-20-9

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=>

[USER ABORT]

C:\Program Files\STNEXP\Queries\10523422\1.str

0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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O IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> D

ENTER NAME OF ITEM TO BE DISPLAYED OR (?):EN 'EN' IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY".

=> END

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:N

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Uploading C:\Program Files\STNEXP\Queries\10523422\1.str



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chain nodes :
16  17  18  19  20
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
ring/chain nodes :
21
chain bonds :
8-10  13-16  16-17  16-18  18-19  18-20  20-21
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  10-11  10-15  11-12  12-13  13-14
  14-15
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  8-10  10-11  10-15  11-12  12-13
13-14  13-16  14-15  16-17  16-18  18-19  18-20  20-21
```

G1:H,Ak

G2:C,N

G3:0,S,N

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> D

L1 HAS NO ANSWERS

L1 STR

$$G_{2}$$
 G_{3}
 G_{2}
 G_{3}
 G_{2}
 G_{1}

G1 H, Ak

G2 C, N

G3 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 15:17:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2221 TO ITERATE

90.0% PROCESSED 2000 ITERATIONS 8 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 41593 TO 47247 PROJECTED ANSWERS: 8 TO 355

L2 8 SEA SSS SAM L1

=> S L1 FULL

FULL SEARCH INITIATED 15:17:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 43593 TO ITERATE

100.0% PROCESSED 43593 ITERATIONS 173 ANSWERS

SEARCH TIME: 00.00.01

L3 173 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 15:17:51 ON 04 SEP 2008

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FILE COVERS 1907 - 4 Sep 2008 VOL 149 ISS 10 FILE LAST UPDATED: 3 Sep 2008 (20080903/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L3

L4 9 L3

=> D IBIB ABS HITSTR L4 TOT

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1064465 CAPLUS

DOCUMENT NUMBER: 147:385970

TITLE: Novel heterocyclic NF- κ B inhibitors and their

preparation, pharmaceutical compositions and use in

the treatment of diseases

INVENTOR(S): Leban, Johann; Schmitt, Harald; Wolf, Kristina;

Pegoraro, Stefano; Wuzik, Andreas; Krauss, Rolf

PATENT ASSIGNEE(S): 4SC A.-G., Germany

SOURCE: PCT Int. Appl., 110pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND DATE	E APPLIC.	APPLICATION NO.					
WO 2007104557	A2 2007	70920 WO 200	7-EP2265	20070314				
WO 2007104557	A3 2008	30522						
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GE, GH, GM,	GT, HN, HR,	HU, ID, IL, I	N, IS, JP, KE,	KG, KM, KN,				
KP, KR, KZ,	LA, LC, LK,	LR, LS, LT, L	U, LY, MA, MD,	MG, MK, MN,				
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     NO 2008001056
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                                  20080228
PRIORITY APPLN. INFO.:
                                               US 2006-375259
                                                                     A 200 $0315
                                               WO 2006-EP2396
                                                                     A 200 $0315
                                               US 2004-612794P
                                                                    Ρ
                                                                         20040927
                                                US 2005-192009
                                                                    A2 200$0729
                                                WO 2005-EP8261
                                                                     A 200$0729
OTHER SOURCE(S):
                         MARPAT 147:385970
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to compds. of the general formula I or pharmaceutically acceptable salts thereof with an acid or a base, or pharmaceutically acceptable prodrugs or a stereoisomer thereof. Compds. of formula I wherein A is NH and derivs., S or O; R3a is H, OH, SH, NH2, -C(NH)NH2 and derivs., (CH2)1-6 aryl, -(CH2)1-6NH2 and derivs., -C(O)NH2 and derivs., alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, haloalkyloxy, alkoxy, (hydroxy)alkylamino, halo, (hetero)aryl, etc.; R3 is H, CONH2 and derivs., halo, alkyl, haloalkyl, (hetero)aryl, OH and derivs., SH, NH and derivs., NH2, hydroxyalkylamino, alkylamino, alkoxy, cycloalkyl, etc.; X is NH and derivs., O, or S; Z is N or CH, alkyl, C-CONH and derivs., etc.; t is 0 to 4; r is 0 or 1; Rd is H, halo, C(NH)NH2 and derivs., (CH2)1-6 aryl, (CH2)1-6 amino, etc..; R1 is acyl, CHO, CONH2 and derivs., CO2H and derivs., thioacyl, etc.; R2 is H, alkyl, (hetero)cycloalkyl, haloalkyl, hydroxyalkyl, etc.; R2a is H, OH, SH, NH2, alkyl, cycloalkyl,

hydroxyalkyl, etc.; and their pharmaceutically acceptable salts with acids or bases, prodrugs and stereoisomers thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their NF- κ B inhibitory activity (no data).

IT 913822-38-5P 913822-40-9P 913822-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic NF-KB inhibitors useful in treatment and prevention of diseases associated with abnormal and hyperproliferation of cells)

RN 913822-38-5 CAPLUS

CN 4-Thiazolecarboxamide, 2-(4-morpholinyl)-N-[4-[5-(trifluoromethyl)-2-benzothiazolyl]phenyl]- (CA INDEX NAME)

RN 913822-40-9 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-fluoropheny1]-2-(4-morpholiny1)- (CA INDEX NAME)

RN 913822-41-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazolyl)-2-(trifluoromethoxy)phenyl]-2-(4-morpholinyl)- (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1150357 CAPLUS

DOCUMENT NUMBER: 145:471514 TITLE: Novel 2-(piperidin-4-yl)thiazole derivatives as $NF-\kappa B$ inhibitors and their preparation,

pharmaceutical compositions, and use in the treatment

of various diseases

INVENTOR(S): Leban, Johann; Schmitt, Harald; Wolf, Kristina;

Pegoraro, Stefano; Wuzik, Andreas

PATENT ASSIGNEE(S): 4 Sc AG, Germany

U.S. Pat. Appl. Publ., 52pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 192,009.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
US 20060247253 US 20060069102 WO 2007104557 WO 2007104557	A1 A1 A2 A3	20070920	US 2006-375259 US 2005-192009 WO 2007-EP2265	20060315 20050729 20070314			
CN, CO, GE, GH, KP, KR, MW, MX, RU, SC, UA, UG, RW: AT, BE, IS, IT, BJ, CF, GH, GM,	CR, CU, CZ GM, GT, HN KZ, LA, LC MY, MZ, NA SD, SE, SG US, UZ, VC BG, CH, CY LT, LU, LV CG, CI, CM KE, LS, MW KZ, MD, RU	, DE, DK, DM , HR, HU, ID , LK, LR, LS , NG, NI, NO , SK, SL, SM , VN, ZA, ZM , CZ, DE, DK , MC, MT, NL , GA, GN, GQ , MZ, NA, SD	EE, ES, FI, FR, L, PL, PT, RO, SE, Q, GW, ML, MR, NE, O, SL, SZ, TZ, UG, P, EA, EP, OA	ES, FI, GB, GD, KE, KG, KM, KN, MD, MG, MK, MN, PL, PT, RO, RS, TN, TR, TT, TZ, GB, GR, HU, IE, SI, SK, TR, BF, SN, TD, G, BW, ZM, ZW, AM, AZ, P 20040927 A2 20050729 A 20060315			
OTHER SOURCE(S):	MARPAT	145:471514	No 2000 El 2000				

$$R^{1}-[O]_{m}-[X]_{n}-N$$
 S
 R^{2}

The invention relates to compds. of the general formula I or AΒ pharmaceutically acceptable salts thereof with an acid or a base, or pharmaceutically acceptable prodrugs or a stereoisomer thereof. Compds. of formula I wherein R1 is H, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl(oxy), (un)substituted (hetero)aryl, and (un)substituted arylalkyl; R2 is NR3R4, (un)substituted piperidine, and (un)substituted piperazine; R3 is alkyl, cycloalkyl, alkoxy, alkylamino, OH, SH, alkylthio, hydroxyalkyl, haloalkyl(oxy) and (hetero)aryl; R4 is alkyl, cycloalkyl, alkoxy, alkylamino, alkylthio, hydroxyalkyl, haloalkyl(oxy) and (hetero)aryl; m and n are independently 0 and 1; X is CO and SO2; and their salts and physiol. functionalized derivs. thereof are claimed. Example compound II was prepared by a multistep procedure (general procedure given). All the invention compds. were evaluated for their NF- κB inhibitory activity. From the assay, it was determined that compound II exhibited 90-100 % inhibition.

ΙI

IT 913822-38-5P 913822-40-9P 913822-41-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of piperidinylthiazole derivs. as NF- κ B inhibitors and their use in the treatment of various diseases) 913822-38-5 CAPLUS

RN 913822-38-5 CAPLUS
CN 4-Thiazolecarboxamide, 2-(4-morpholinyl)-N-[4-[5-(trifluoromethyl)-2-benzothiazolyl]phenyl]- (CA INDEX NAME)

RN 913822-40-9 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-fluoropheny1]-2-(4-

morpholinyl) - (CA INDEX NAME)

RN 913822-41-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazolyl)-2-(trifluoromethoxy)phenyl]-2-(4-morpholinyl)- (CA INDEX NAME)

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:710810 CAPLUS

DOCUMENT NUMBER: 145:159773

TITLE: Benzimidazole derivative transcription

factor-modulating compounds for use as antiinfective

agents

INVENTOR(S): Alekshun, Michael N.; Amoo, Victor; Kim, Oak K.;

Verma, Atul K.

PATENT ASSIGNEE(S): Paratek Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.						DATE		
WO 2006 WO 2006							20060720 20071227			WO 2005-US14345					20050425		
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RW:	AT, IS,	BE, IT,	LT,	LU,	MC,	CZ, NL, GQ,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	

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A2 20070117 EP 2005-856651
     US 20060160799
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    EP 1742637
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     JP 2008504233
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PRIORITY APPLN. INFO.:
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                                                              P 20040507
                                                              P 20041028
                                           US 2004-623251P
                                                              W 20050425
                                           WO 2005-US14345
OTHER SOURCE(S):
                       MARPAT 145:159773
    The invention provides substituted benzimidazole compds. useful as
     antiinfectives that decrease resistance, virulence, or growth of microbes.
     Also provided are methods for making and using the substituted
     benzimidazole compds., as well as pharmaceutical prepns. for e.g. reducing
     antibiotic resistance and inhibiting biofilms.
     900142-12-3
ΙT
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
       (benzimidazole derivative transcription factor-modulating compds. for use
       as antiinfective agents)
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L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

yl)phenyl]-6-(4-morpholinyl)- (CA INDEX NAME)

ACCESSION NUMBER: 2005:300252 CAPLUS

DOCUMENT NUMBER: 142:373830

900142-12-3 CAPLUS

TITLE: Preparation of benzimidazoles and imidazopyridines as

3-Pyridinecarboxamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2-

heparanase inhibitors

INVENTOR(S): Liu, Hu; Miao, Hua-quan PATENT ASSIGNEE(S): Imclone Systems, Inc., USA SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

RN

CN

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2005030206
                                20050407
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                                                                   20040924
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRIORITY APPLN. INFO.:
                                            US 2003-505136P
                                                                P 20030924
OTHER SOURCE(S):
                        CASREACT 142:373830; MARPAT 142:373830
GT
```

Ι

$$(R^{1})_{m} \xrightarrow{\stackrel{\square}{\longrightarrow}} (R^{2})_{2}$$

$$(R^{2})_{m} \xrightarrow{\stackrel{\square}{\longrightarrow}} (R^{3})_{p}$$

AB Title compds. I [wherein Z = N or CH (at least one Z is CH); m, n, p = 0-4; R1, R3 = halo, nitro, amino, cyano, hydroxy, (un)substituted alk(en/yn)l, alkoxy, (hetero)aryl or -NHC(0)-aryl; R2 = H, (un)substituted carbonyl or sulfonyl], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared For example, cyclocondensation of 1,2-phenylenediamine with 3-aminobenzoic acid in the presence of polyphosphoric acid (52% yield) followed by acylation with 3-bromo-4-methoxybenzoyl chloride, which was obtained by chlorination of the corresponding acid with oxalyl chloride, gave amide II (8% yield). Most I showed 29-109% inhibition at the concentration of 25 μ M (65% inhibition for II) in the heparanase activity assays.

IT 849509-40-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

09/04/2008 10/523,422

(inhibitor; preparation of benzimidazoles and imidazopyridines as heparanase inhibitors)

849509-40-6 CAPLUS RN

4-Thiazolecarboxamide, N-[4-(1H-benzimidazol-2-yl)phenyl]-2-[(3-bromo-4-CN ethoxybenzoyl)amino]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:120723 CAPLUS

DOCUMENT NUMBER: 140:163697

TITLE: Preparation of biaryl amides with antimicrobial

activity

INVENTOR(S): Burli, Roland W.; Baird, Eldon E.; Kaizerman, Jacob

A.; McMinn, Dustin L.

PATENT ASSIGNEE(S): Genesoft Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 51 pp.

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. PATENT INFORMATION	COUNT:	English			INSTANT	APPLICAT	1
PATENT NO.		KIND	DATE	APPLICAT		I	DATE
WO 200401273				20030801			
W: AE,	AG, AL,	AM, AT,	, AU, AZ,	BA, BB, BG,	BR, BY,	BZ, CA,	CH, CN,
CO,	CR, CU,	CZ, DE,	, DK, DM,	DZ, EC, EE,	ES, FI,	GB, GD,	GE, GH,
·				JP, KE, KG,			
LS,	LT, LU,	LV, MA,	, MD, MG,	MK, MN, MW,	MX, MZ,	NI, NO,	NZ, OM,
•				SD, SE, SG,			TM, TN,
· ·				VC, VN, YU,			
•				SL, SZ, TZ,			•
				BE, BG, CH,			
· ·				LU, MC, NL,			
*				GN, GQ, GW,			•
CA 2494139				CA 2003-			
AU 200325802	22	A1	20040223	AU 2003-	-258022	2	20030801
EP 1539151							
· ·				GB, GR, IT,			·
				CY, AL, TR,			
US 20060128		A1	20060615				
PRIORITY APPLN.	NFO.:				-400671P		
				WO 2003-	-US24294	W 2	20030801

OTHER SOURCE(S):

MARPAT 140:163697

GI

The title compds. I [Z = N or (substituted)carbon, with the proviso that no more than 2 Zs in any aromatic ring are N; Y = 0, N, or S; Q = N or (substituted)carbon, with the proviso that Q = (substituted)carbon when Y = N; Ar = (substituted)(hetero)aromatic 5- or 6-membered ring; R1 = H, (hetero)alkyl or the two R1 form a (substituted)hetero 5-7 membered ring; R2 = H or alkyl] were prepared as antimicrobial agents. Thus, reaction of N-[4-(2-benzofuranyl)phenyl]-4,5-dichloro-isothiazole-3-carboxamide (preparation given) with 1-piperazineethanamine gave compound II. The latter inhibits Bacillus cereus, Enterococcus faecalis, and Streptococcus aureus with MICs \leq 4 $\mu q/mL$ in vitro.

ΙT 654056-02-7P 654056-03-8P 654056-04-9P 654056-05-0P 654056-06-1P 654056-07-2P 654056-08-3P 654056-09-4P 654056-10-7P 654056-11-8P 654056-12-9P 654056-13-0P 654056-14-1P 654056-15-2P 654056-16-3P 654056-17-4P 654056-18-5P 654056-19-6P 654056-20-9P 654056-21-0P 654056-22-1P 654056-23-2P 654056-28-7P 654056-29-8P 654056-30-1P 654056-31-2P 654056-32-3P 654056-33-4P 654056-34-5P 654056-35-6P 654056-36-7P 654056-37-8P 654056-38-9P 654056-39-0P 654056-40-3P 654056-41-4P 654056-47-0P 654056-48-1P 654056-49-2P 654056-50-5P 654056-51-6P 654056-52-7P 654056-53-8P 654056-54-9P 654056-55-0P 654056-56-1P 654056-57-2P 654056-58-3P 654056-59-4P 654056-60-7P 654056-61-8P 654056-62-9P 654056-63-0P 654056-64-1P 654056-65-2P 654056-66-3P 654056-67-4P 654056-68-5P 654056-69-6P 654056-70-9P
654056-71-0P 654056-72-1P 654056-73-2P
654056-74-3P 654056-75-4P 654056-76-5P
654056-77-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of biaryl amides with antimicrobial activity)
RN 654056-02-7 CAPLUS
CN 3-Isothiazolecarboxamide, N-[4-(2-benzofuranyl)phenyl]-4-chloro-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-03-8 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(3-aminopropyl)amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

RN 654056-04-9 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

RN 654056-05-0 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

NH-C NH- (CH₂)
$$_4$$
-NH₂

RN 654056-06-1 CAPLUS

CN 3-Isothiazolecarboxamide, N-[4-(2-benzofuranyl)phenyl]-4-chloro-5-[[3-(diethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-07-2 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[[2-[2-(2-aminoethoxy)ethoxy]ethyl]amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

— CH₂— CH₂— NH₂

RN 654056-08-3 CAPLUS

CN 3-Isothiazolecarboxamide, N-[4-(2-benzofuranyl)phenyl]-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-09-4 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropyl)methylamino]propyl]amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

RN 654056-10-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(3-aminopropyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

RN 654056-11-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-12-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-13-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[3-(cyclohexylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-14-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-15-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(4-thiomorpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-16-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-17-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 654056-18-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[4-(2-aminoethyl)-1-piperazinyl]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

RN 654056-19-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

RN 654056-20-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NH}_2 \\ & \text{NH-C} \end{array}$$

RN 654056-21-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-(1-piperazinyl)-(CA INDEX NAME)

RN 654056-22-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(diethylamino)ethyl]amino]- (CA INDEX NAME)

RN 654056-23-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-[2-(2-aminoethoxy)ethoxy]ethyl]amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

PAGE 1-B

— cн₂- Nн₂

RN 654056-28-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)-3-methylphenyl]-2-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-29-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)-3-methylpheny1]-2-[[2-(1-piperaziny1)ethyl]amino]- (CA INDEX NAME)

RN 654056-30-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)-3-methylphenyl]- (CA INDEX NAME)

RN 654056-31-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)-3-methylphenyl]-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-32-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-N-[4-(2-benzofuranyl)-3-methylphenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH- (CH}_2)_3 - \text{N- (CH}_2)_3 - \text{NH}_2 \\ \\ \text{NH- C} \\ \\ \text{NH- C}$$

RN 654056-33-4 CAPLUS

CN 3-Isothiazolecarboxamide, N-[4-(2-benzofurany1)-3-methylpheny1]-4-chloro-5-[[2-(1-piperidiny1)ethyl]amino]- (CA INDEX NAME)

RN 654056-34-5 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)-3-methylphenyl]-4-chloro- (CA INDEX NAME)

Me NH-C S
$$C1 \qquad NH-(CH2)4-NH2$$

RN 654056-35-6 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(3-aminopropyl)amino]-N-[4-(2-benzofuranyl)-3-methylphenyl]-4-chloro- (CA INDEX NAME)

Me NH-C
$$\sim$$
 NH- (CH₂)₃-NH₂

RN 654056-36-7 CAPLUS

CN 3-Isothiazolecarboxamide, N-[6-(2-benzofurany1)-3-pyridiny1]-4-chloro-5-[[2-(1-piperaziny1)ethy1]amino]- (CA INDEX NAME)

RN 654056-37-8 CAPLUS

CN 3-Isothiazolecarboxamide, N-[6-(2-benzofuranyl)-3-pyridinyl]-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-38-9 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(4-aminobutyl)amino]-N-[6-(2-benzofuranyl)-3-pyridinyl]-4-chloro- (CA INDEX NAME)

RN 654056-39-0 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-N-[6-(2-benzofuranyl)-3-pyridinyl]-4-chloro- (CA INDEX NAME)

RN 654056-40-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropy1)methylamino]propy1]amino]-N-[6-(2-benzofurany1)-3-pyridiny1]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{NH- (CH}_2)_3 - \text{N- (CH}_2)_3 - \text{NH}_2 \\ \hline \\ \text{NH- C} & \text{NH- C} \\ \end{array}$$

RN 654056-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[6-(2-benzofuranyl)-3-pyridinyl]- (CA INDEX NAME)

RN 654056-47-0 CAPLUS

CN 3-Isothiazolecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-4-chloro-5-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-48-1 CAPLUS

CN 3-Isothiazolecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-49-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-50-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-2-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-51-6 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-52-7 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropyl)methylamino]propyl]amino]-4-chloro-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (CA INDEX NAME)

RN 654056-53-8 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-4-chloro-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{S} & \text{NH-CH}_2\text{-CH}_2\text{-NH}_2 \\ \hline \end{array}$$

RN 654056-54-9 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(3-aminopropyl)amino]-4-chloro-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (CA INDEX NAME)

RN 654056-55-0 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (CA INDEX NAME)

Me
$$\sim$$
 NH-C \sim NH-C \sim NH-(CH₂)₃-NMe₂

RN 654056-56-1 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(5-methylfuro[3,2-b]pyridin-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-57-2 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-4-chloro-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-58-3 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

NH- C- NH- (CH₂)
$$_3$$
 - NMe₂

RN 654056-59-4 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-60-7 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-61-8 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-62-9 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(4-methyl-1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-63-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-64-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-(dimethylamino)propyl]amino]-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-65-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1H-indol-2-yl)phenyl]-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-66-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1H-indol-2-yl)phenyl]-2-[[2-(4-methyl-1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-67-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1H-indol-2-yl)phenyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-68-5 CAPLUS

CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-69-6 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(4-aminobutyl)amino]-N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro- (CA INDEX NAME)

$$S$$
 $NH-C$
 $NH-$

RN 654056-70-9 CAPLUS

CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5- [[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-71-0 CAPLUS

CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-72-1 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropy1)methylamino]propy1]amino]-N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro- (CA INDEX NAME)

RN 654056-73-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-2-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-74-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-75-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

RN 654056-76-5 CAPLUS

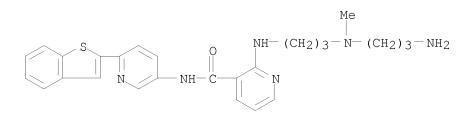
CN 3-Pyridinecarboxamide, 2-[(4-aminobutyl)amino]-N-(6-benzo[b]thien-2-yl-3-

09/04/2008

pyridinyl) - (CA INDEX NAME)

RN 654056-77-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-N- (6-benzo[b]thien-2-yl-3-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:356439 CAPLUS

DOCUMENT NUMBER: 138:368779

TITLE: Preparation of isoquinolines as 5-HT antagonists for

treatment of psychiatric disorders

INVENTOR(S): Angst, Christof; Haeberlein, Markus; Hill, Daniel;

Jacobs, Robert; Moore, Gary; Pierson, Edward; Shenvi,

Ashokkumar Bhikkappa

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	ΓENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.	r		<u> </u>	anne l
WO	2003	0378	 87		A1	_	2003	0508		WO 2	002-	 SE19	88		2	 0021	101
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	ĞE,	ĞH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ΒJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
CA	2464	342			A1		2003	0508		CA 2	002-	2464	342		2	0021	101
ΑU	2002	3433	13		A1		2003	0512		AU 2	U 2002-343313				20021101		
EP	1451	172			A1		2004	0901		EP 2	002-	7802	44		2	0021	101

	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
BR	2002	0137	78		A		2004	1109]	BR 2	2002-	1377	8		20	0021	101	
CN	1608	061			A		2005	0420	(CN 2	2002-	8262	81		20	0021	101	
JP	2005	5168	96		T		2005	0609		JP 2	2003-	5401	68		20	0021	101	
HU	2005	0010	89		A2		2007	0928]	HU 2	2005-	1089			20	0021	101	
IN	2004	DN01	022		A		2007	0302		IN 2	2004-	DN10:	22		20	0040	419	
MX	2004	PA04	076		A		2004	0723	I	MX 2	2004-	PA40	76		20	0040	129	
ZA	2004	0032	40		A		2005	0407	:	ZA 2	2004-	3240			20	0040	429	
US	2007	0010	526		A1		2007	0111	1	JS 2	2004-	4944	24		20	0040	430	
NO	2004	0021	54		A		2004	0729]	NO 2	2004-	2154			2.0	2040	525 101	
PRIORITY	APP	LN.	INFO	. :						SE 2	2001-	3644		gree Z	21	0011	101 ~	10
									Ī	NO 2	2002-	SE19	88	(V	V 20	0021	101	_market
OTHER SC	URCE	(S):			MARP	'ΑΤ	138:	3687	79					,	CARREST	***********	***********	GRY RES

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$$R1 \longrightarrow N$$
 $W-X-(Y-Z)_{m}$

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Title compds. I [wherein W = CO, CONRa, NRaCO, CO(CH2) nNRaCO, CSNRa, AB COCH2O, SO2NRa, NRaSO2, CH2NRa, COCH2, CH2CO, or 5-membered heterocyclyl; X = (un) substituted aryl or heterocyclyl; Y = bond, CH2, O, S, SO, CO, SO2, NRb, or NRbSO2; Z = Rb, CO2Ra, CON(Ra)2, NHRb, alkyl-N(Ra)2, SO2Rc, or (un)substituted aryl(alkyl) or heterocyclyl; R1 = halo, alkyl, ORa, SOpRa, N(Ra)2, or CN; R2 = aryl or heterocyclyl(carbonyl); Ra = H or (un) substituted alkyl; Rb = H, alkyl(sulfanyl), alkanoyl, aryl(alkyl), or arylalkoxyalkyl; Rc = alkyl, aryl, or heterocyclyl; m = 0 or 1; n = 0-4; p = 0-2; were prepared as 5-HT1B and 5-HT1D antagonists (no data). For example, O-methylation of 5-hydroxyisoquinoline using NaOBu-t and PhMe3NC1 in DMF (85%), followed by bromination with bromine in AcOH gave 5-methoxy-8-bromoisoquinoline (47%). Substitution with N-methylpiperazine using NaOBu-t, BINAP, and tris(dibenzylideneacetone)dipalladium in PhMe and subsequent reduction with NaCNBH3 and BF3 • Et20 in MeOH gave 5-methoxy-8-(4-methylpiperazin-1-y1)-1,2,3,4-tetrahydroisoquinoline.Coupling of 4-(bromomethyl)phenylacetic acid with morpholine in the

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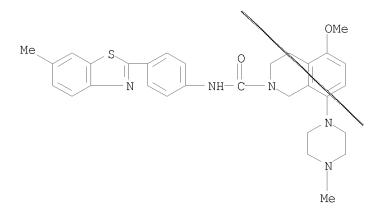
presence of K2CO3 in MeCN provided 4-(morpholinomethyl)phenylacetic acid. Amidation of the tetrahydroisoquinoline with the phenylacetic acid in DMF afforded II. I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 521315-36-6P, 5-Methoxy-8-(4-methylpiperazin-1-yl)-3,4-dihydro-1Hisoquinoline-2-carboxylic acid [4-(6-methylbenzothiazol-2-yl)phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

 $(5-\mathrm{HT}$ antagonist; preparation of isoquinolines as $5-\mathrm{HT1B}$ and $5-\mathrm{HT1D}$ antagonists for treatment of psychiatric disorders)

RN 521315-36-6 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, 3,4-dihydro-5-methoxy-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:275753 CAPLUS

DOCUMENT NUMBER: 136:309843

TITLE: Preparation of thiophenes as phosphate transport

inhibitors

INVENTOR(S): Weinstock, Joseph; Franz, Robert G. PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	The second second		
PATENT NO.	KIND / DATE	APPLICATION NO.	DATE
	/ \		
WO 2002028353	A2 20020411	WO 2001-US31318	20011005
WO 2002028353	A3 \ 20020711 /		
W: AE, AG, AL,	AM, ÅŢ, AU, AZ, ÆA	A, BB, BG, BR, BY, BZ,	CA, CH, CN,
	The state of the s		

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002013048
                          Α5
                                20020415
                                            AU 2002-13048
PRIORITY APPLN. INFO.:
                                            US 2000-238068P
                                                                   20001005
                                            WO 2001-US31318
                                                                W 20011005
                         MARPAT 136:309843
OTHER SOURCE(S):
GΙ
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$$\begin{bmatrix} R^{1} \\ N \end{bmatrix} \begin{bmatrix} R^{3} \\ N \end{bmatrix} \begin{bmatrix} R^{2} \\ R^{2} \end{bmatrix} \begin{bmatrix} R^{1} \\ N \end{bmatrix} \begin{bmatrix} R^{2} \\$$

RN

$$\begin{bmatrix} \text{R1} \\ \text{NH} \\ \text{X} \\ \text{O} \\ \text{O} \\ \text{III} \\ \text{O} \\ \text{III} \\ \text{O} \\ \text{III} \\ \text{O} \\ \text{O} \\ \text{III} \\ \text{O} \\ \text{$$

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AB The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared E.g., a 4-step synthesis of I [X = S; R1 = H; R2 = 4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.

IT 409362-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophenes as phosphate transport inhibitors) 409362-41-0 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3-[(phenylsulfonyl)amino]- (CA INDEX NAME)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:496928 CAPLUS

DOCUMENT NUMBER: 111:96928

ORIGINAL REFERENCE NO.: 111:16296h, 16297a

TITLE: Synthesis of actinomycin analogs. XVII. Actinomycin

amides containing a benzimidazole fragment

AUTHOR(S): Sklyarova, I. V.; Kuznetsov, V. A.; Garabadzhiu, A.

V.; Glibin, E. W., Ginzburg, O. F.

CORPORATE SOURCE: Leningr. Tekhnol. Inst., Leningrad, USSR

SOURCE:

Zhurnal Organicheskoi Khimii (1989), 25(1), 186-9

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 111:96928

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AB Interaction of 4,3,2-R(PhCH2O)(O2N)C6H4COCl (R = H, Me) with benzimidazole derivs. R1H (R1 = R2, R3) gave the resp. acylamino derivs., which were cyclized to phenoxazinones I (R = H, Me, R1 = R2; R = Me, R1 = R3) via hydrogenation and oxidation I were used in the preparation of polyfunctional DNA.

in which actinocin, the chromophore of actinomycin, combines with

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benzimidazole-cintg. groups.

IT 122183-12-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 122183-12-4 CAPLUS

CN 3H-Phenoxazine-1,9-dicarboxamide, 2-amino-N,N'-bis[4-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1H-benzimidazol-2-yl]phenyl]-4,6-

dimethyl-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-NMe2

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:524074 CAPLUS

DOCUMENT NUMBER: 99:124074

ORIGINAL REFERENCE NO.: 99:19117a,19120a

TITLE: Azo dyes and their metal complexes

INVENTOR(S):
Puentener, Alois

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP	79858	3			A1		19830525	EP	1982-810480		19821110
EP	79858	3			В1		19851227				
	R:	CH,	DE,	FR,	GB,	LΙ					
US	46250	017			Α		19861125	US	1982-441125		19821112
JP	58089	9657			A		19830528	JP	1982-199835		19821116
JP	5904	5699			В		19841108				
PRIORIT	Y APPI	LN.	INFO	. :				СН	1981-7353	A	19811116
OTHER SO	OURCE	(S):			MARI	PAT	99:124074				
GI											

$$\begin{bmatrix} \text{HOCR}^1 \\ \text{RN} = \text{NCCONR}^2 \end{bmatrix}$$
OH

Dyes with general structure I are prepared, where R represents the residue of a benzene- or naphthalene-type diazo component with a metalizable OH group ortho to the azo group, R1 = Me, C1CH2, or C1-4 alkyl-, C1-4 alkoxy-, or halo-substituted Ph, R2 = H or C1-4 alkyl, R3 = H or Me, n = 0 or 1, and m = 0, 1, 2, or 3. Heavy metal complexes (Cu, Co, Cr, etc.) of I are yellow, orange-red to brown or olive dyes, e.g. for cotton, leather, paper, or polyamide. Thus, diazotization of 2,4-H2N(H2NSO2)C6H3OH [98-32-8] and coupling with 6-methyl-2-[p-(acetoacetylamino)phenyl]benzoth iazole-7-sulfonic acid [5855-96-9] gave II [87074-85-9], which was applied to cotton and treated with CuSO4 to form the 1:1 Cu complex [87067-62-7], a fast yellow dye.

IT 87134-07-4

RL: USES (Uses)

(dye, for leather)

RN 87134-07-4 CAPLUS

CN Chromate(4-), [3-hydroxy-4-[(2-hydroxy-1-naphthalenyl)azo]-7-nitro-1-naphthalenesulfonato(3-)][2-[4-[[2-[(2-hydroxy-3-nitro-5-sulfophenyl)azo]-1,3-dioxobutyl]amino]phenyl]-6-methyl-7-benzothiazolesulfonato(4-)]-, tetrahydrogen (9CI) (CA INDEX NAME)

PAGE 1-A

Searched by Jason M. Nolan, Ph.D.

PAGE 3-A

● 4 H+

IT 87140-42-9P

RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(manufacture of, as dye for leather)

RN 87140-42-9 CAPLUS

CN Chromate (4-), [3-[(4,5-dihydro-3-methyl-5-oxo-1-phenyl-1H-pyrazol-4-yl) azo]-2-hydroxy-5-nitrobenzenesulfonato(3-)][2-[4-[[2-[(2-hydroxy-3-nitro-5-sulfophenyl)azo]-1,3-dioxobutyl]amino]phenyl]-6-methyl-7-benzothiazolesulfonato(4-)]-, tetrasodium (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

●4 Na+

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	50.01	229.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-7.20	-7.20

STN INTERNATIONAL LOGOFF AT 15:18:45 ON 04 SEP 2008